



11 Publication number:

**0 183 458**  
**A1**

12

**EUROPEAN PATENT APPLICATION**

21 Application number: 85308369.9

51 Int. Cl.<sup>4</sup>: **C 07 D 403/04**  
**A 01 N 43/54, A 01 N 43/653**

22 Date of filing: 18.11.85

30 Priority: 24.11.84 GB 8429739

43 Date of publication of application:  
04.06.86 Bulletin 86/23

84 Designated Contracting States:  
AT BE CH DE FR GB IT LI LU NL SE

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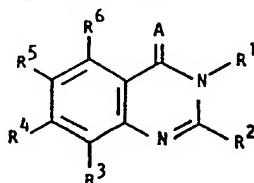
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54 Fungicidal azole compounds.

57 Compounds of the formula:



where: A is oxygen or sulphur:

R<sup>1</sup> is aryl:

R<sup>2</sup> is 1-imidazolyl or 1,2,4-triazol-1-yl:

and R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup>, which may be the same or different, are each hydrogen, halo, alkyl or alkoxy, have fungicidal and plant growth regulant activity.

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QUINAZOLINE COMPOUNDS, AGRICULTURAL COMPOSITIONS CONTAINING THEM AND  
THEIR USE AS FUNGICIDES AND PLANT GROWTH REGULATORS

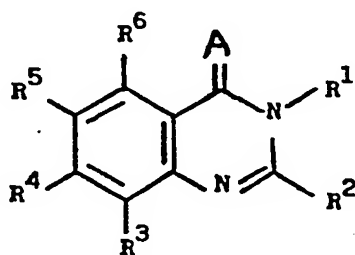
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This invention concerns fungicidal and/or plant growth regulating quinazoline derivatives, processes for their preparation and compositions containing them.

5        There are numerous examples of imidazole and triazole derivatives having fungicidal activity. Well known products include prochloraz (BP 1469772), triadimefon (BP 1364619) and propiconazole (BP 1522657). We have now found that compounds where an imidazole, or triazole group  
10       is attached to a quinazoline ring have valuable fungicidal properties. We are not aware of compounds of this type having such activity.

In one aspect, the invention provides a compound of formula I:

15



(I)

20

where: A is oxygen or sulphur;

R<sup>1</sup> is aryl;

R<sup>2</sup> is 1-imidazolyl or 1,2,4-triazol-1-yl; and

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup>, which may be the same

25       or different, are each hydrogen, halo, alkyl or alkoxy.

- 1 -

A is preferably oxygen.

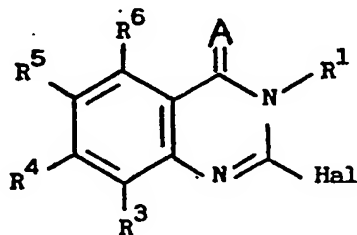
In the groups  $R^1$ ,  $R^3$ ,  $R^4$ ,  $R^5$  and  $R^6$ , any alkyl or alkoxy moiety is preferably of 1 to 6 carbon atoms and any aryl moiety is preferably phenyl.

5  $R^1$  is preferably phenyl, which may be substituted by one or more groups selected from halogen, alkyl (optionally substituted, e.g. by halogen, especially fluorine), alkoxy (optionally substituted, e.g. by halogen and especially fluorine) or nitro. Particularly preferred  
10 groups which  $R^1$  may represent include phenyl, 4-chlorophenyl and 2,4-dichlorophenyl.

At least two, and preferably three or four, of  $R^3$ - $R^6$  desirably represent hydrogen. Where only one of  $R^3$ - $R^6$  is other than hydrogen, it is preferably  $R^5$ .

15 Examples of groups which  $R^3$ - $R^6$  may represent include chloro, bromo, iodo and methyl.

The compounds of formula I may be prepared by reaction of the corresponding compounds of formula II:



(II)

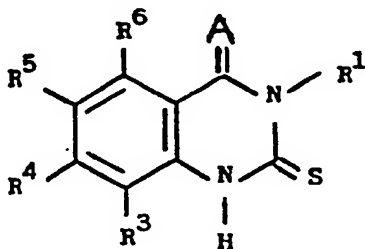
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where A, R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are as defined hereinbefore and Hal is chlorine or bromine, is reacted with R<sup>2</sup>H (i.e. imidazole or 1,2,4-triazole) in the presence of a base to give the desired compound.

5       The base employed is preferably an alkali-metal hydroxide or carbonate, e.g. potassium carbonate, and the reaction is desirably carried out in a suitable inert solvent medium, e.g. dimethylformamide or acetonitrile.

10       The compounds of formula II may themselves be prepared by reaction of the corresponding compounds of formula III:



(III)

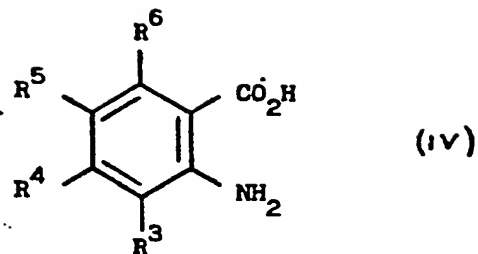
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where A, R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are as defined hereinbefore, with SO<sub>2</sub>Hal<sub>2</sub>, where Hal is as defined  
20 hereinbefore.

The reaction is desirably effected in an inert solvent medium, e.g. chloroform, and with heating, e.g. to reflux.

The compounds of formula III, where A is oxygen, may themselves be prepared by reaction of the corresponding  
25 compounds of formula IV:

5



(iv)

10 where R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are as defined  
 hereinbefore, with an isothiocyanate of the formula  
 R<sup>1</sup>NCS where R<sup>1</sup> is as defined hereinbefore.

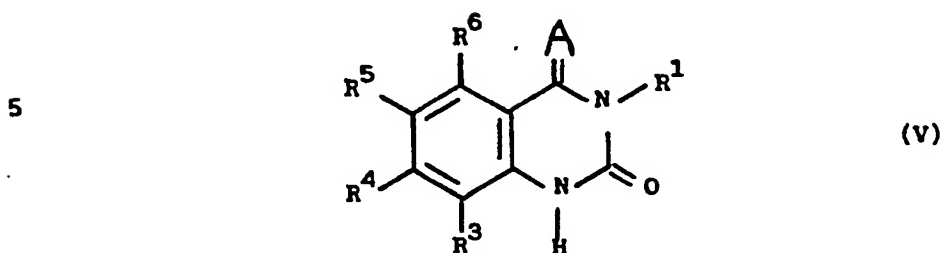
The reaction is desirably effected in an anhydrous  
 aprotic solvent, e.g. ethanol, and with heating, e.g. to  
 15 reflux.

The compounds of formula III, where A is sulphur, may  
 be prepared from the compounds of formula I, where A is  
 oxygen, by methods known per se, e.g. by reaction with  
 phosphorus pentasulphide or Lawesson's reagent.

20 The compounds of formula IV are either known or may be  
 prepared from known compounds by methods known per se.

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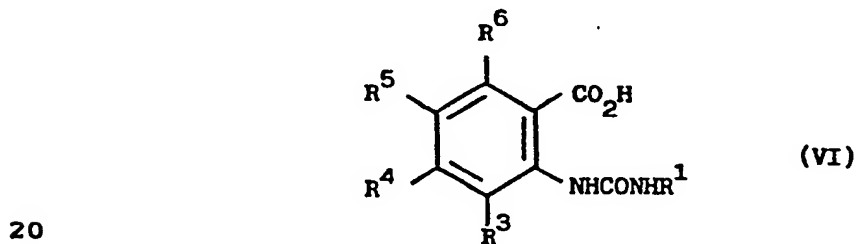
The compounds of formula II may alternatively be prepared by a process in which a compound of formula V:



where A, R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are as defined hereinbefore, is reacted in the presence of a base with POHal<sub>3</sub>, where Hal is as defined hereinbefore.

The base employed is preferably an organic base, e.g. pyridine.

The compounds of formula V may themselves be prepared by reaction of the compounds of formula VI:



where R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are as defined hereinbefore, with an acid in a suitable solvent medium.

The acid employed is preferably hydrochloric acid, and the solvent is desirably an alkanol, e.g. ethanol.

In their turn, the compounds of formula VI may be prepared from the compounds of formula IV by reaction thereof with an isocyanate of formula  $R^1NCO$  where  $R^1$  is as defined hereinbefore.

5       The quinazoline derivatives of formula I are fungicidal, possessing activity inter alia against a wide range of phytopathogenic fungi, particularly phycomycetes, deuteromycetes, ascomycetes and basidiomycetes orders, and wide range of fungi, e.g. powdery mildew (Erysiphe  
10 graminis) on cereal crops such as wheat, barley, oats and rye and other cereal diseases such as glume blotch (Septoria nodorum), leaf blotch (Rhynchosporium secalis), eyespot (Pseudocercospora herpotrichoides), rusts (e.g. Puccinia graminis) and take-all (Gaeumannomyces  
15 graminis). Some compounds of the present invention can be used to control seed borne organisms such as bunt (Tilletia caries) on wheat, loose smut (Ustilago nuda and Ustilago hordei) on barley and oats, leaf spot (Pyrenophora avenae) on oats and leaf stripe (Pyrenophora  
20 graminis) on barley. The compounds can be used against powdery mildews of other crops, e.g. cucumber powdery mildew (E.cichoracearum), apple powdery mildew (Podosphora leucotricha) and vine powdery mildew (Uncinula necator). They can also be applied to rice for control of rice blast  
25 (Pyricularia oryzae) and to horticultural crops such as

apple trees for the control of apple scab (Venturia  
inaequalis).

In another aspect, therefore, the invention provides a  
method of combating fungi at a locus infested or liable to  
5 be infested therewith, which comprises applying to the  
locus an effective amount of one or more compounds of  
formula I.

The invention also provides a method of regulating the  
growth of plants which comprises applying to said plants a  
10 growth regulating amount of one or more compounds of  
formula I.

The present compounds are normally employed in the  
form of compositions containing a surface active agent  
and/or a carrier.

15 The compositions will normally be produced initially  
containing from 0.5 to 99%, preferably from 0.5 to 85%,  
and more usually from 10 to 50% by weight of the present  
compounds, which are diluted if necessary before  
application to the locus to be treated such that the  
20 concentration of active ingredient in the formulation  
applied is from 0.05 to 5% by weight.

The carrier may be water, in which case an organic  
solvent may also be present, though this is not usually  
employed. A flowable suspension concentrate may be formed  
25 by grinding the compound with water, a wetting agent and a



suspending agent, e.g. xanthan gum.

The carrier may alternatively be a water immiscible organic solvent, e.g. a hydrocarbon which boils within the range 130-270°C, e.g. xylene, in which the compound is  
5 dissolved or suspended. An emulsifiable concentrate containing a water immiscible solvent may be formed with a surface active agent so that the concentrate acts as a self-emulsifiable oil on admixture with water.

The carrier may alternatively be a water-miscible  
10 organic solvent e.g. 2-methoxy ethanol, methanol, propylene glycol, diethylene glycol, diethylene glycol monoethyl ether, formamide or methylformamide.

The carrier may alternatively be a solid, which may be finely divided or granular. Examples of suitable solids  
15 are limestone, clays, sand, mica, chalk, attapulgite, diatomite, perlite, sepiolite, silicas, silicates, lignosulphonates and solid fertilizers. The carrier can be of natural or synthetic origin or can be modified natural material.

20 Wettable powders soluble or dispersible in water may be formed by admixing the compound in particulate form with a particulate carrier or spraying molten compound on to the particulate carrier, admixing a wetting agent and a dispersing agent and finely grinding the whole powder  
25 mixture.

An aerosol composition may be formed by admixing the compound with a propellant, e.g. a polyhalogenated alkane such as dichlorofluoromethane, and suitably also with a solvent.

5       The term 'surface active agent' is used in the broad sense to include materials variously called emulsifying agents, dispersing agents and wetting agents. Such agents are well known in the art.

10       The surface active agents used may comprise anionic surface active agents, for example mono- or di-esters of phosphoric acid with a fatty alcohol ethoxylate, or salts of such esters, fatty alcohol sulphates such as sodium dodecyl sulphate, ethoxylated fatty alcohol sulphates, ethoxylated alkylphenol sulphates, lignin sulphates, 15       petroleum sulphonates, alkylaryl sulphonates such as alkyl-benzene sulphonates or lower alkylnaphthalene sulphonates, salts of sulphonated naphthaleneformaldehyde condensates, salts of sulphonated phenolformaldehyde condensates, or more complex sulphonates such as the amide 20       sulphonates, e.g. the sulphonated condensation product of oleic acid and N-methyl taurine or the dialkyl sulphosuccinates e.g. the sodium sulphonate of dioctyl succinate.

25       The surface active agents may also comprise non-ionic agents, for example condensation products or fatty acid

esters, fatty alcohols, fatty acid amides or  
alkyl-substituted phenols with ethylene oxide, fatty  
esters of polyhydric alcohol ethers e.g. sorbitan fatty  
acid esters, condensation products of such esters with  
5 ethylene oxide e.g. polyoxyethylene sorbitan fatty acid  
esters, block copolymers of ethylene oxide and propylene  
oxide, acetylenic glycols such as 2,4,7,9-tetramethyl-5-  
decyn-4,7-diol, or ethoxylated acetylenic glycols.

The surface active agents may also comprise cationic  
10 agents, for example alkyl- and/or aryl-substituted  
quaternary ammonium compounds such as cetyl  
trimethylammonium bromide, or ethoxylated tertiary fatty  
amines.

Preferred surface active agents include ethoxylated  
15 fatty alcohol sulphates, lignin sulphonates, alkyl-aryl  
sulphonates, salts of sulphonated naphthaleneformaldehyde  
condensates, salts of sulphonated phenolformaldehyde  
condensates, sodium oleoyl N-methyltauride, dialkyl  
sulphossuccinates, alkyl phenol ethoxylates, and fatty  
20 alkyl ethoxylates.

The compounds of the invention may of course be used  
in conjunction with one or more further active  
ingredients, for example compounds known to possess  
plant-growth regulant, herbicidal, fungicidal,  
25 insecticidal or acaricidal properties. Alternatively the

compounds of the invention can be used in sequence with the other active ingredient. Fungicides which can be used in conjunction with the compounds of the present invention include maneb, zineb, mancozeb, thiram, ditalimfos, 5 tridemorph, fenpropimorph, fenpropidine, imazalil, propiconazole, triadimefon, triadimenol, diclobutrazol, fluotrimazole, ethirimol, fenarimol, nuarimol, triforine, pyracarbolid, tolclofos-methyl, oxycarboxin, carbendazim, benomyl, thiophanate, thiophanate-methyl, thiabendazole, 10 propineb, metalaxyl, dicloran, dithianon, fuberidazole, dodine, chlorothalonil, cyprofuram, dichlofluanid, sulphur, copper compounds, iprodione, ziram, nabam, prochloraz (and metal complexes of this e.g. the manganese chloride complex), zineb-ethylene thiuram sulphide adduct, 15 captan, captafol, benodanil, mepronil, carboxin, guazatine, validamycin, vinclozolin, tricyclazole, quintozene, pyrazophos, furmecyclox, propamocarb, procymidone, kasugamycin, furalaxyl, folpet, fenfuram, ofurace, etridiazole, fosetyl aluminium, methfuroxam, 20 fentin hydroxide, IBP, cycloheximide, binapacryl, dodemorph, dimethirimol, bupirimate, nitrothal isopropyl, quinomethionate, bitertanol, fluotolanil, etaconazole, fenpropidine, flubenzimine, cymoxanil, flutriafol, fenpentezol, diclopentezol, penconazole, oxadixyl, 25 myclobutanil, DPX 6573, hymexazol, anilazine, myclozolin,

metomeclan, chlozolate and benalaxyl.

In the method of the invention the compound is generally applied to seeds, plants or their habitat. Thus, the compound can be applied directly to the soil  
5 before, at or after drilling so that the presence of active compound in the soil can control the growth of fungi which may attack seeds. When the soil is treated directly the active compound can be applied in any manner which allows it to be intimately mixed with the soil such  
10 as by spraying, by broadcasting a solid form of granules, or by applying the active ingredient at the same time as drilling by inserting it in the same drill as the seeds. A suitable applications rate is within the range of from 0.05 to 20 kg per hectare, more preferably from 0.1 to 10  
15 kg per hectare.

Alternatively the active compound can be applied directly to the plant by, for example, spraying or dusting either at the time when the fungus has begun to appear on the plant or before the appearance of fungus as protective  
20 measure. In both such cases the preferred mode of application is by foliar spraying. It is generally important to obtain good control of fungi in the early stages of plant growth as this is the time when the plant can be most severely damaged. For cereal crops such as  
25 wheat, barley and oats it is often desirable to spray the

plant at or before growth stage 5 although additional treatments by spraying when the plant is more mature can augment resistance to the growth or spread of fungi. The spray or dust can conveniently contain a pre- or

5 post-emergence herbicide if this is thought necessary. Sometimes, it is practicable to treat the roots of a plant before or during planting, for example, by dipping the roots in a suitable liquid or solid composition. When the active compound is applied directly to the plant a

10 suitable rate of application is from 0.01 to 10 kg. per hectare, preferably from 0.05 to 5 kg per hectare.

The invention is illustrated in the following Examples. Structures of isolated novel compounds were confirmed by elemental and/or other appropriate analyses.

15 Example 1

Phenyl isothiocyanate (28.3 g) was added to anthranilic acid (28.7 g) in absolute alcohol (250 ml) and heated at reflux for 4.5 hours. The mixture was cooled to room temperature and the solid removed by filtration to give

20 32.5 g of 3-phenyl-2,3-dihydro-2-thioxoquinazolin-4(1H)-one, mp 287-291°C. Sulphuryl chloride (5.4 ml) was added dropwise at room temperature to a suspension of this product (32.5 g) in chloroform (250 ml). The mixture was heated at reflux for 4 hours. When cool it was poured

25 into water (400 ml), filtered and the solid washed with

dichloromethane. The organic layer was separated from the filtrate, dried and concentrated in vacuo. The residue was extracted with ether, filtered and the filtrate concentrated in vacuo to give an oil which solidified on standing. This was recrystallised from cyclohexane to give crude 2-chloro-3-phenylquinazolin-4(3H)-one, mp 102-5°C. (shown to be 90% pure by high pressure liquid phase chromatography (hplc). A mixture of this product (3.85 g), imidazole (1.02 g) and potassium carbonate (2.07 g) in acetonitrile (60 ml) was heated at reflux for 4 hours. The mixture was cooled to room temperature, and concentrated in vacuo. The residue was chromatographed on silica using light petroleum (bp 60-80°C)/ethyl acetate (1:1) as eluant. The resulting solid was recrystallised from cyclohexane to give 0.8 g of 3-phenyl-2-(imidazol-1-yl)-quinazolin-4(3H)-one, mp 163-5°C. (Compound 1).

Example 2

2-(4-Chlorophenylaminocarbonylamino)-5-iodobenzoic acid was prepared by adding a solution of 4-chlorophenyl isocyanate (15.4 g) in ethyl acetate (60 ml) dropwise, at room temperature, to a stirred suspension of 5-iodoanthranilic acid (26.3 g) in ethyl acetate (150 ml). The mixture was heated at reflux for 1 hour, cooled to ambient temperature and the solid removed

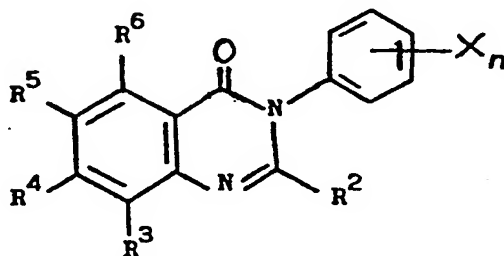
by filtration, to give 34 g of the substituted benzoic acid. This (34 g) was added to absolute alcohol (250 ml) saturated with hydrogen chloride gas and heated at reflux for 40 minutes. When cool the solid was filtered and  
5 washed with absolute alcohol (50 ml) to give 27.1 g of 3-(4-chlorophenyl)-6-iodoquinazoline-2,4(1H,3H)-dione, mp 324-6°C. This product (26.9 g) was added slowly to a mixture of pyridine (25 ml) and phosphoryl chloride (250 ml). The mixture was heated at reflux for 6 hours,  
10 when a solution developed. When cool, excess phosphoryl chloride and pyridine were removed by distillation in vacuo. The residue was cautiously added to ice water (500 ml) and the solid filtered. This solid was extracted with dichloromethane (100 ml), the extract concentrated in  
15 vacuo and chromatographed on silica using dichloromethane to give 9.7 g of 2-chloro-3-(4-chlorophenyl)-6-iodoquinazolin-4(3H)-one, mp 178-180°C. This was then treated with 1,2,4-triazole and potassium carbonate in a similar manner to Example 1 to give  
20 3-(4-chlorophenyl)-2-(1,2,4-triazol-1-yl)-6-iodoquinazolin-4(3H)-one, mp 206-208°C. (Compound 2).

Example 3

In a similar manner to Example 1 or 2, the following compounds were obtained. In the table, in the column  
25 headed R<sup>2</sup>, Im = imidazolyl and T = 1,2,4-triazol-1-yl.



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Cpd	X <sub>n</sub>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	mp(°C)
3	4-Cl	Im	H	H	H	H	210
4	4-Cl	T	H	H	H	H	190
5	-	T	H	H	H	H	178-80
6	2,4-Cl <sub>2</sub>	T	H	H	H	H	163-5
7	2,4-Cl <sub>2</sub>	Im	H	H	H	H	135-7
8	4-Cl	T	H	Cl	H	H	186-8
9	2,4-Cl <sub>2</sub>	T	H	H	I	H	206-8
10	4-Cl	T	H	H	Me	H	191-4
11	2,4-Cl <sub>2</sub>	Im	H	Me	H	Me	126-30
12	2,4-Cl <sub>2</sub>	T	H	H	Cl	H	192-4
13	2,4-Cl <sub>2</sub>	Im	H	H	Cl	H	212-4
14	2,4-Cl <sub>2</sub>	T	H	H	Br	H	190-4
15	2,4-Cl <sub>2</sub>	Im	H	H	Br	H	229-30
16	4-F	T	H	H	H	H	170-1
17	4-F	Im	H	H	H	H	206-8

	Cpd	X <sub>n</sub>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	R <sup>6</sup>	mp(°C)
5	18	2-Cl	T	H	H	H	H	159-60
	19	2-Cl	Im	H	H	H	H	173-4
	20	4-PhO-	Im	H	H	H	H	187-8
	21	3-CF <sub>3</sub>	Im	H	H	H	H	143-5
	22	4-Bu <sup>t</sup>	Im	H	H	H	H	157-9
10	23	4-PhO-	T	H	H	H	H	187-9
	24	4-Cl-2-Me	Im	H	H	H	H	153-4
	25	2,4-Cl <sub>2</sub>	Im	Cl	H	Cl	H	179-82
	26	4-Cl-2-CF <sub>3</sub>	T	H	H	H	H	179-84
	27	4-Cl-2-CF <sub>3</sub>	Im	H	H	H	H	159-62
15	28	2-F	T	H	H	H	H	100-3
	29	2-F	Im	H	H	H	H	188-9
	30	4-Cl-2-Me	T	H	H	H	H	165-8
	31	2,4-Cl <sub>2</sub>	Im	H	H	H	H	236-6
	32	2,4,6,-Cl <sub>3</sub>	T	H	H	H	H	110-3
20	33	2,4-Me <sub>2</sub>	Im	H	H	H	H	159-61
	34	2,4-Me <sub>2</sub>	T	H	H	H	H	131-3
	35	4-CHF <sub>2</sub> O-	T	H	H	H	H	177-8

Example 3a

A mixture of phosphorus pentasulphide (46.7 g) and  
3-(2,4-dichlorophenyl)-2,3-dihydro-2-thioxoquinazolin-4(1H)-  
one (32.3 g) in xylene(500 ml) was heated at reflux for 4  
5 hours. The hot liquid was then decanted and the residue  
extracted with boiling xylene (100 ml) and the extract  
combined with the previously decanted liquid. The  
extracts were cooled to 5°C and the orange solid which  
resulted was removed by filtration. 15 g of this solid  
10 was purified on a silica column using petroleum ether (bp  
60-80°C)/ethyl acetate (1:1) as eluant to give  
3-(2,4-dichlorophenyl)-2,3-dihydroquinazoline-  
2,4(1H,3H)-dithione, mp 218-20°C. This was then treated  
with sulphuryl chloride, in a similar manner to Example 1,  
15 to give crude 2-chloro-3-(2,4-dichlorophenyl)-  
quinazoline-4(3H)-thione which was then treated with  
1,2,4-triazole to give 3-(2,4-dichlorophenyl)-  
2-(1,2,4-triazol-1-yl)-quinazoline-4(3H)-thione, mp  
184-6°C. (Compound 37).  
20 In a similar manner, there was also obtained  
3-(2,4-dichlorophenyl)-2-(imidazol-1-yl)-  
quinazoline-4(3H)-thione, mp 133-5°C. (Compound 38).

Example 4

A mixture of phosphorus pentasulphide (46.7 g) and 3-(2,4-dichlorophenyl)-2,3-dihydro-2-thioxoquinazolin-4(1H)-one (32.3 g) in xylene (500 ml) was heated at reflux for 4 hours. The hot liquid was then decanted and the residue extracted with boiling xylene (100 ml) and the extract combined with the previously decanted liquid. The extracts were cooled to 5°C and the orange solid which resulted was removed by filtration. 15 g of this solid was purified on a silica column using petroleum ether (bp 60-80°C)/ethyl acetate (1:1) as eluant to give 3-(2,4-dichlorophenyl)-2,3-dihydroquinazoline-2,4(1H,3H)-dithione, mp 218-20°C. This was then treated with sulphuryl chloride, in a similar manner to Example 1, to give crude 2-chloro-3-(2,4-dichlorophenyl)-quinazoline-4(3H)-thione which was then treated with 1,2,4-triazole to give 3-(2,4-dichlorophenyl)-2-(1,2,4-triazol-1-yl)-quinazoline-4(3H)-thione, mp 184-6°C. (Compound 36).

In a similar manner, there was also obtained 3-(2,4-dichlorophenyl)-2-(imidazol-1-yl)-quinazoline-4(3H)-thione, mp 133-5°C. (Compound 37).

Example 5

Compounds of the invention were subjected to various tests

a) Fungicide tests

- 5      Compounds are assessed for activity against the following:

Puccinia recondita: brown leaf rust of wheat (PR)

Erysiphe graminis: barley powdery mildew (EG)

Pyricularia oryzae: rice blast (PO).

- 10      The compounds listed below were formulated in aqueous acetone with Tween 20 wetter to give a concentration of 500 ppm compound/125 ppm wetter/20,000 ppm acetone. For cereals, Pluronic L61 (ethylene oxide/propylene oxide block copolymer) was added (1000 ppm) as an additional
- 15      wetter. Plants were then treated with the diluted suspensions and then inoculated, 24 hours after treatment with test compound, by spraying with spore suspensions of the fungi and then incubating in a humid atmosphere: >98% RH, as summarised in Table 1.

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Table 1                      Environmental conditions during  
incubation

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5	Pathogen	Incubation time (days)	Temperature day	Temperature night	Light conditions	Duration of high humidity (days)
10	<u>P. recondita</u>	12	18	14	1 day dark, 16 hrs light/ 8 hrs dark per day	1
15	<u>E. graminis</u>	9	18	14	16 hrs light/ 8 hrs dark per day	11
20	<u>P. oryzae</u>	7	24	18	3 days dark then 14 hrs light/10 hrs dark per day	7
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After the appropriate period of incubation, the degree of infection of the leaf surface was visually estimated.

Compounds were considered active if they gave greater than 50% control of the disease at a concentration of 500 ppm (w/v) or less.

b) Plant growth regulant tests (PGR)

Mung bean (MB) seeds were sown in pots containing coarse grade vermiculite (3-5 seeds per 6cm pot). Five days later each pot was placed in approximately 100 ml of an aqueous dispersion of the chemical under test and shoots which had emerged were sprayed to run-off with a portion of test liquid. Eight days later the heights of the seedlings were measured and compared with control plants. Similar tests were also carried out on barley (B) and sunflower (S). Compounds are considered active if they gave a reduction of at least 20% in height compared with controls at rate of 100 mg/L or less.

Activities were demonstrated as follows (+ = active).

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Compound No	FUNGICIDE			PGR		
	EG	PR	PO	MB	B	S
1			+			
2	+					
3	+	+				
4	+	+				
5	+	+				
6	+	+				
7	+	+				
8	+					
9	+	+				
10	+			+	+	+
11	+	+	+	+	+	
12	+	+		+	+	
13	+	+		+		
14	+	+				
15	+	+				



Compound No	FUNGICIDE			PGR		
	EG	PR	PO	MB	B	S
5	16	+				
	17	+				
	18	+		+		+
	19	+	+	+	+	
10	20	+			+	+
	21	+			+	
	22		+	+		
	23	+			+	
	24	+	+	+	+	+
15	25	+				
	26	+		+		
	27	+	+	+	+	+
	28	+				
	29			+	+	
20	30	+			+	
	31		+			

Example 6

This example illustrates typical concentrates that can be formulated from compounds of the invention.

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a) Wettable powder

Compound of the invention	25% w/w
Sodium lignosulphonate	5% w/w
China clay	70% w/w

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b) Suspension concentrate

Compound of the invention	500.0 g/l
Synperonic P103 <sup>1</sup>	43.0 g/l
Tamol 731 <sup>2</sup>	10.8 g/l
Silicone antifoam	0.6 g/l
Sodium acetate	10.8 g/l
Hydrochloric acid	10.8 g/l
Xanthan gum	1.5 g/l
Formaldehyde	5.4 g/l
Water	598.0 g/l

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<sup>1</sup> Polyoxyethylene/oxypropylene block copolymer

<sup>2</sup> Maleic acid/olefine copolymer (25% aq. soln. of sodium salt)

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c) Seed treatment

Compound of the invention

25% w/w

Lake red toner

1% w/w

Liquid paraffin

2% w/w

5 Talc

72% w/w

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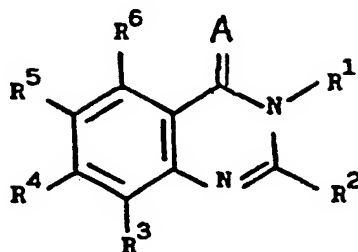
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CLAIMS

1. A compound of the formula:

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(I)

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where: A is oxygen or sulphur;

R<sup>1</sup> is aryl;

R<sup>2</sup> is 1-imidazolyl or 1,2,4-triazol-1-yl;

and

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup>, which may be the

same or different, are each hydrogen, halo, alkyl or

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alkoxy.

2. A compound according to claim 1 in which A is oxygen.

3. A compound according to claim 1 or 2 in which R<sup>1</sup> is

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phenyl, which may be substituted by one or more groups selected from halogen, alkyl (optionally substituted by halogen), alkoxy (optionally substituted by halogen) or nitro.

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4. A compound according to claim 3 in which  $R^1$  is  
phenyl, 4-chlorophenyl or 2,4-dichlorophenyl.
5. A compound according to any one of the preceding claims  
5 in which at least three of  $R^3$ - $R^6$  is hydrogen.
6. 3-(4-Chlorophenyl)-2-(1,2,4-triazol-1-yl)-quinazolin-  
4(3H)-one.
- 10 7. 3-Phenyl-2-(imidazol-1-yl)-quinazolin-4(3H)-one.
8. 3-(2,4-dichlorophenyl)-2-(1,2,4-triazol-1-yl)-quinazolin-  
4(3H)-one.
- 15 9. 3-(2,4-Dichlorophenyl)-2-(imidazol-1-yl)-quinazolin-  
4(3H)-one.
10. 3-(4-Chlorophenyl)-2-(1,2,4-triazol-1-yl)-6-  
iodoquinazolin-4(3H)-one.
- 20 11. 3-(2,4-Dichlorophenyl)-2-(1,2,4-triazol-1-yl)-6-  
iodoquinazolin-4(3H)-one.
12. 3-(2,4-Dichlorophenyl)-2-(1,2,4-triazol-1-yl)-6-  
25 bromoquinazolin-4(3H)-one.

13. 3-(2,4-Dichlorophenyl)-2-(1,2,4-triazol-1-yl)-6-chloroquinazolin-4(3H)-one.

14. 3-(2,4-Dichlorophenyl)-2-(imidazol-1-yl)-6-chloroquinazolin-4(3H)-one.

15. A fungicidal or plant growth regulant composition which comprises a compound claimed in one of the preceding claims in admixture with an agriculturally acceptable diluent or carrier.

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# EUROPEAN SEARCH REPORT

0183458

Application number

DOCUMENTS CONSIDERED TO BE RELEVANT			EP 85308369.9
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int. Cl. 4)
X	CHEMICAL ABSTRACTS, vol. 79, no. 1, July 9, 1973, Columbus, Ohio, USA  ABRAHAM, W.; BARNIKOW, G. "Isothiocyanates. 35. Amidino isothiocyanates. II. Isomerization, dimerization, and condensation reactions of amidino isothiocyanates." page 451, column 2, abstract no. 5 315x & Tetrahedron 1973, 29(5), 691-7  --	1	C 07 D 403/04 A 01 N 43/54 A 01 N 43/653
X	FR - M - 7 865 (PFIZER)  * Formula I; page 2, lines 6,7 *  --	1	
A	CHEMICAL ABSTRACTS, vol. 99, no. 19, November 7, 1983, Columbus, Ohio, USA  KOTTKE, KARL; KUEHMSTEDT, HANS; LANDMANN, HELLMUT; WEHLAN, HELMUT "2-Pyrazol-1-yl-4(3H)-quinazolinone derivatives." page 621, column 1, abstract no. 158 451b & Ger. (East) DD 200,153  --	1	TECHNICAL FIELDS SEARCHED (Int. Cl. 4)  C 07 D 403/00
The present search report has been drawn up for all claims			
Place of search VIENNA		Date of completion of the search 15-01-1986	Examiner HAMMER
<p><b>CATEGORY OF CITED DOCUMENTS</b></p> <p>X : particularly relevant if taken alone Y : particularly relevant if combined with another document of the same category A : technological background O : non-written disclosure P : intermediate document</p> <p>T : theory or principle underlying the invention E : earlier patent document, but published on, or after the filing date D : document cited in the application L : document cited for other reasons  &amp; : member of the same patent family, corresponding document</p>			



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Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int. Cl. 4)
A	CHEMICAL ABSTRACTS, vol. 99, no. 13, September 26, 1983, Columbus, Ohio, USA  EL-SHERIEF, H.A.: ABDEL-RAHMAN, A.E.; EL-NAGGAR, G.M.; MAHMOUD, A.M. "Synthesis of the 1,2,4-triazolo[4,3a]quinazolin-5-ones and related compounds." page 582, column 1, abstract no. 105 201p  & Bull. Chem. Soc. Jpn. 1983, 56(4), 1227-30  --	1	
A	CHEMICAL ABSTRACTS, vol. 96, no. 13, March 29, 1982, Columbus, Ohio, USA  SHUKLA, S.K.; AGNIHOTRI, A.K.; CHOWDHARY, B.L. "Inhibitory action of 4(3H)-quinazoline derivatives on the infectivity of Ranikhet disease virus (RDV)." page 34, column 1, abstract no. 97 196m  & Indian Drugs 1981, 19(2), 59-60  --	1	
The present search report has been drawn up for all claims			TECHNICAL FIELDS SEARCHED (Int. Cl. 4)
Place of search VIENNA		Date of completion of the search 15-01-1986	Examiner HAMMER
<p><b>CATEGORY OF CITED DOCUMENTS</b></p> <p>X : particularly relevant if taken alone Y : particularly relevant if combined with another document of the same category A : technological background O : non-written disclosure P : intermediate document</p> <p>T : theory or principle underlying the invention E : earlier patent document, but published on, or after the filing date D : document cited in the application L : document cited for other reasons  &amp; : member of the same patent family, corresponding document</p>			





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Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int. Cl. 4)
A	<p>CHEMICAL ABSTRACTS, vol. 74, no. 25, June 21, 1971, Columbus, Ohio, USA</p> <p>ELKASCHEF, MOHAMED A.F.; ABDEL-MEGEID, FAROUK M.E.; MOKHTAR, KAMEL E.; ZAKI, KAMEL E.M. "Reactions of 2,4(1H,3H)-quinazolinediones." page 583, column 1, abstract no. 141 687u</p> <p>&amp; J. Chem. Soc. C 1971, (6), 1055-8</p> <p>-----</p>	1	
			TECHNICAL FIELDS SEARCHED (Int. Cl. 4)
The present search report has been drawn up for all claims			
Place of search		Date of completion of the search	Examiner
VIENNA		15-01-1986	HAMMER
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